SYNTHESIS OF QUINAZOLINOCARBOLINE ALKALOIDS

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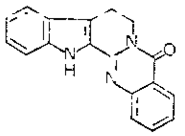
Abstract: Quinazolinocarboline alkaloides,[1] *e.g.* rutaecarpine (1), can readily be synthesized by reacting tryptamine with 2-trifluoromethyl-4*H*-(3,1)-benzoxazin-4-one (quickly generated *in situ* from TFAA and 2*H*-(3,1)-benzoxazine-2,4-(1*H*)dione). The product formed 3-[2-(3-indolyl)ethyl]-2-trifluoromethyl-4(3*H*)quinazolinone (2) is then cyclized (HCl/HOAc) to 13*b*-trifluoromethyl-13*b*,14 dihydrorutaecarpine (3), whereupon CF_3H is eliminated by treatment with base. The whole procedure can conveniently be performed as a three-reaction one-pot procedure giving rutaecarpine (1), in 99% yield within 3 h.



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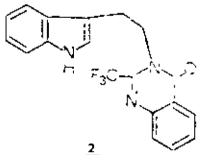

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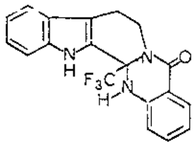

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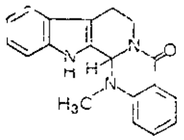

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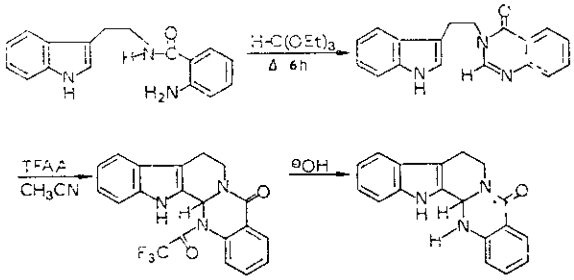
This approach to quinazolinocarboline alkaloids has now been extented to the synthesis of evodiamine (4) and 13*b*,14-dihydrorutaecarpine (5) as outlined in the Scheme.



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1. J. Bergman, The Alkaloids 21, 29 (1983).

2. J. Bergman and S. Bergman, *Heterocycles* 16, 347 (1981).